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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 3	MAR 16	CASREACT coverage extended
NEWS 4	MAR 20	MARPAT now updated daily
NEWS 5	MAR 22	LWPI reloaded
NEWS 6	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 7	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 8	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 10	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 12	MAY 01	New CAS web site launched
NEWS 13	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 14	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 16	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 17	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 18	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 19	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20	JUN 29	STN Viewer now available
NEWS 21	JUN 29	STN Express, Version 8.2, now available
NEWS 22	JUL 02	LEMBASE coverage updated
NEWS 23	JUL 02	LMEDLINE coverage updated
NEWS 24	JUL 02	SCISEARCH enhanced with complete author names
NEWS 25	JUL 02	CHEMCATS accession numbers revised
NEWS 26	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 27	JUL 16	CAplus enhanced with French and German abstracts
NEWS 28	JUL 18	CA/CAplus patent coverage enhanced
NEWS 29	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 30	JUL 30	USGENE now available on STN

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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FILE 'HOME' ENTERED AT 12:10:25 ON 03 AUG 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:10:36 ON 03 AUG 2007
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STRUCTURE FILE UPDATES: 2 AUG 2007 HIGHEST RN 943961-55-5
DICTIONARY FILE UPDATES: 2 AUG 2007 HIGHEST RN 943961-55-5

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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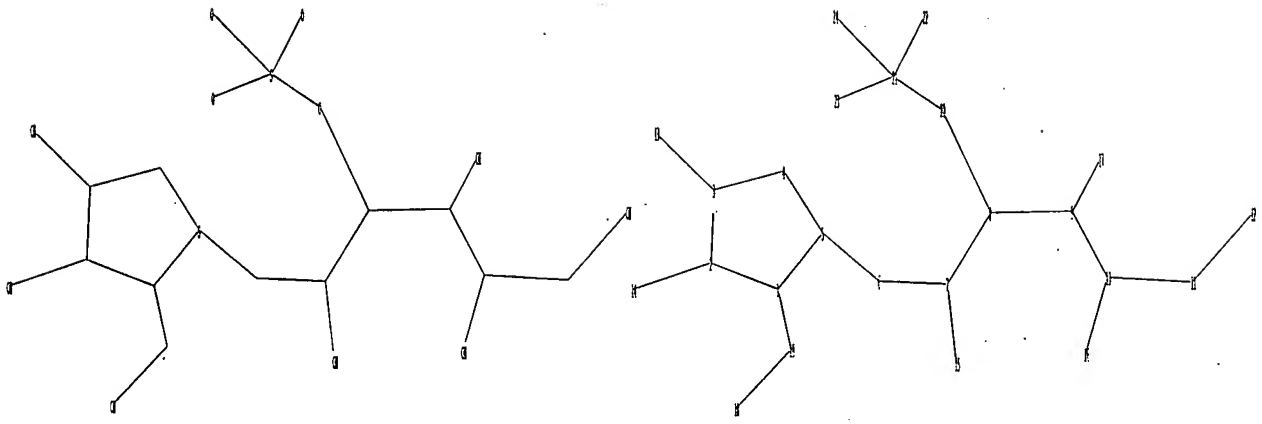
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10553943.str



chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5
chain bonds :
1-19 2-14 3-13 5-6 6-7 7-8 7-15 8-9 8-20 9-10 9-17 10-11 10-16
11-12 18-19 20-21 21-22 21-23 21-24
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
2-14 3-13 5-6 7-15 8-20 9-17 10-16 11-12 18-19 20-21 21-22 21-23
21-24
exact bonds :
1-2 1-5 1-19 2-3 3-4 4-5 6-7 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS
24:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 12:10:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 12:11:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 12:11:11 ON 03 AUG 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 3 Aug 2007 VOL 147 ISS 7
FILE LAST UPDATED: 2 Aug 2007 (20070802/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 19 L3

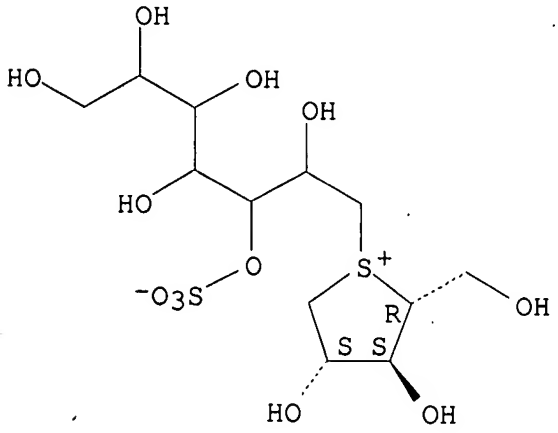
=> d l4 ibib hitstr abs 1-19

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:428062 CAPLUS
DOCUMENT NUMBER: 146:421019
TITLE: Kotarahinnbutsu (Salacia reticulata) health food
INVENTOR(S): Kondo, Takashi
PATENT ASSIGNEE(S): Sakurai, Keizo, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007097500	A	20070419	JP 2005-292625	20051005
PRIORITY APPLN. INFO.:			JP 2005-292625	20051005

IT 214491-07-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(kotarahinnbutsu (Salacia reticulata) fermented health food)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



AB Kotarahinnbutsu contains active ingredients such as salacinol and kotalanol for control of blood sugar and obesity. Kotarahinnbutsu may be inoculated with yeast and fermented for making health food. Besides yeast, the fermentation may use lactic acid bacteria, fungus, etc. The warm water extract of kotarahinnbutsu may also be used for making health food

with/without fermentation

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:329618 CAPLUS
DOCUMENT NUMBER: 146:351353
TITLE: Combination therapy for controlled carbohydrate digestion, decreased formation of intestinal gas, and modulation of insulin signaling or blood glucose levels
INVENTOR(S): Watson, Alan; Brass, Laura; Geesaman, Bard J.; Kailian, Vaughn
PATENT ASSIGNEE(S): Elixir Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 30pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007033292	A2	20070322	WO 2006-US35761	20060913
WO 2007033292	A3	20070628		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

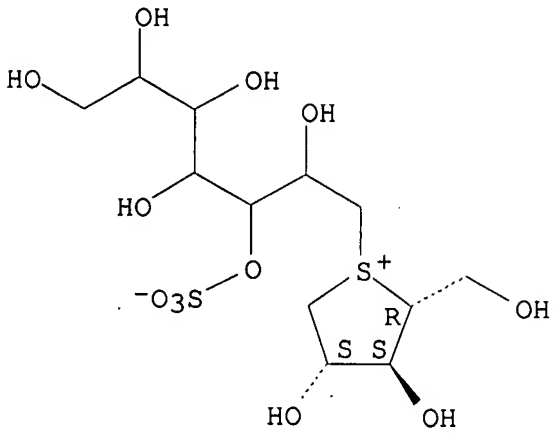
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-717536P P 20050914

OTHER SOURCE(S): MARPAT 146:351353
IT 214491-07-3, Kotalanol
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as agent for control of carbohydrate digestion; combination therapy for controlled carbohydrate digestion, decreased formation of intestinal gas, and modulation of insulin signaling or blood glucose levels)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Currently available stereo shown.



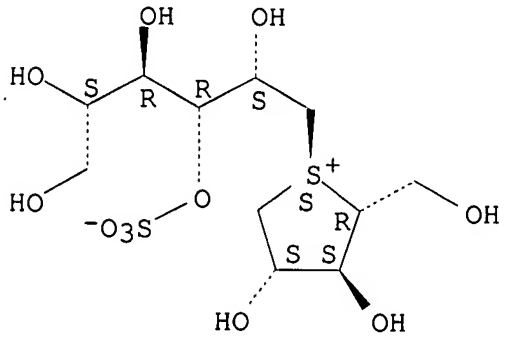
AB Compns. that include combinations of agents that inhibit carbohydrate degradation, decrease formation or severity of intestinal gas, and/or modulate insulin signaling or blood glucose levels are described. Methods of administering these compns. are also described, for example, to reduce or prevent post-prandial glucose spikes. A tablet is produced containing 25 mg acarbose, 20 mg mitiglinide, and 300 GaIU BEANO. It can be administered three times a day with meals. For example, it can be taken prior to meals.

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1296219 CAPLUS
DOCUMENT NUMBER: 146:179287
TITLE: New Chain-Extended Analogues of Salacinol and Blintol and Their Glycosidase Inhibitory Activities. Mapping the Active-Site Requirements of Human Maltase Glucoamylase
AUTHOR(S): Nasi, Ravindranath; Sim, Lyann; Rose, David R.; Pinto, B. Mario
CORPORATE SOURCE: Department of Chemistry, Simon Fraser University, Burnaby, BC, V5A 1S6, Can.
SOURCE: Journal of Organic Chemistry (2007), 72(1), 180-186 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:179287
IT 816423-04-8 878288-73-4 887258-80-2

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(new chain-extended analogs of salacinol and blintol and their human maltase glucoamylase inhibitory activities)

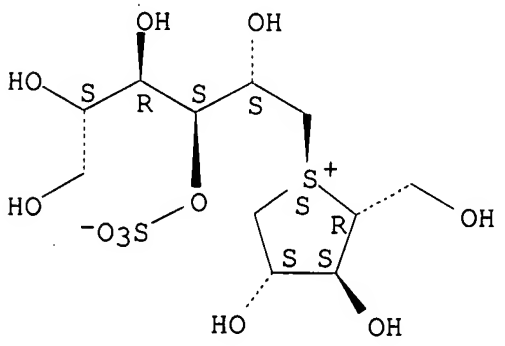
RN 816423-04-8 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



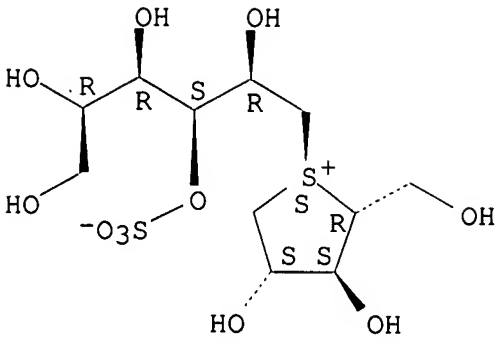
RN 878288-73-4 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-glucitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



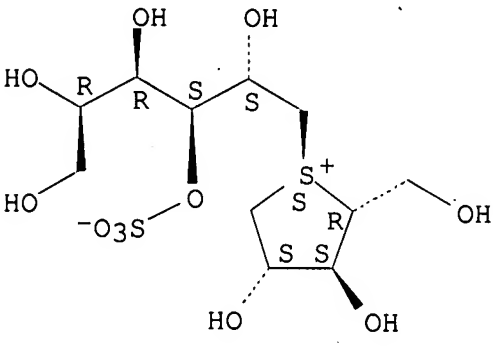
RN 887258-80-2 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



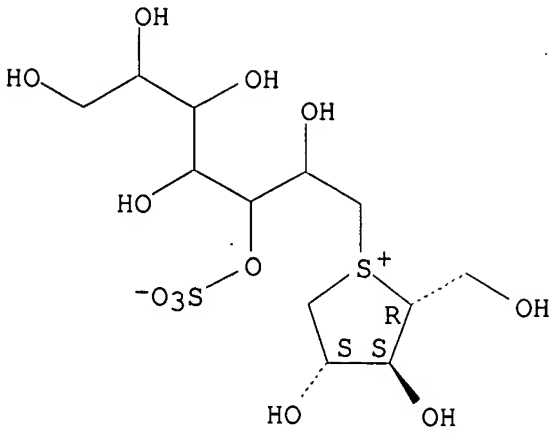
IT 913534-60-8P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(new chain-extended analogs of salacinol and blintol and their human maltase glucoamylase inhibitory activities)
RN 913534-60-8 CAPLUS
CN L-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-mannitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 214491-07-3, Kotalanol
RL: MSC (Miscellaneous)
(new chain-extended analogs of salacinol and blintol and their human maltase glucoamylase inhibitory activities)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.

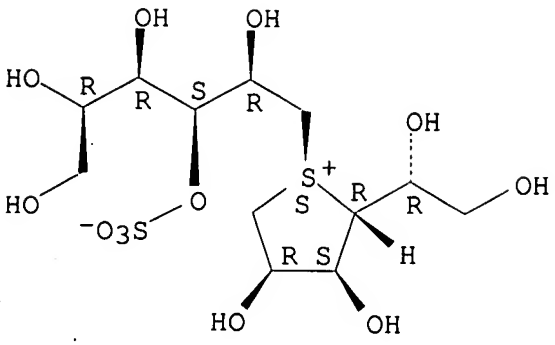


AB The synthesis of new chain-extended sulfonium and selenonium salts of 1,4-anhydro-4-thio-(or 4-seleno)-D-arabinitol, analogs of the naturally occurring glycosidase inhibitor salacinol, is described. Nucleophilic attack at the least hindered carbon atom of 4,6-O-benzylidene-2,5-di-O-p-methoxybenzyl-D-mannitol-1,3-cyclic sulfate by 2,3,5-tri-O-p-methoxybenzyl-1,4-anhydro-4-thio-(or 4-seleno)-D-arabinitol gave the sulfonium and selenonium sulfates, resp. Subsequent deprotection with trifluoroacetic acid yielded the target compds. In these analogs, an extended polyhydroxylated aliphatic side chain has been incorporated while maintaining the stereochem. of C-2' and C-3' of salacinol or blintol. These compds. were designed to probe the premise that they would bind with higher affinity to glucosidases than salacinol because the extra hydroxyl groups in the acyclic chain would make favorable polar contacts within the active site. Both target compds. inhibited recombinant human maltase glucoamylase, one of the key intestinal enzymes involved in the breakdown of glucose oligosaccharides in the small intestine, with Ki values in the low micromolar range. Comparison of these values to those of related compds. synthesized in previous studies has provided a better understanding of structure-activity relationships and the optimal stereochem. at the different stereogenic centers required of an inhibitor of this enzyme. With respect to chain extension, the configurations at C-2' and C-4' are critical for activity, the configuration at C-3', bearing the sulfate moiety, being unimportant. The desired configuration at C-5'

is also specified. However, comparison of the activities of the chain-extended analogs with those of salacinol and blintol indicates that there is no particular advantage of the chain-extension relative to salacinol or blintol. These results are similar to those reported earlier for kotalanol, a 7-carbon-extended derivative, vs. salacinol against rat intestinal maltase, sucrase, and isomaltase.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

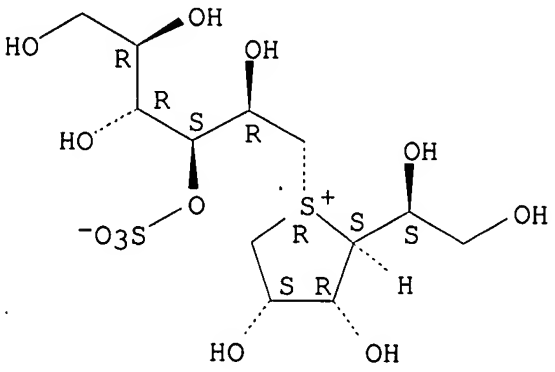
L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1261559 CAPLUS
DOCUMENT NUMBER: 146:206558
TITLE: Design and synthesis of selenonium and sulfonium ions related to the naturally occurring glucosidase inhibitor salacinol
AUTHOR(S): Pinto, B. Mario; Liu, Hui
CORPORATE SOURCE: Department of Chemistry, Simon Fraser University, Burnaby, BC, V5A 1S6, Can.
SOURCE: Canadian Journal of Chemistry (2006), 84(10), 1351-1362
CODEN: CJCHAG; ISSN: 0008-4042
PUBLISHER: National Research Council of Canada
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 913534-38-0P 913534-40-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of zwitterionic selenonium and sulfonium glycoside analogs and their activity against recombinant human maltase glucoamylase)
RN 913534-38-0 CAPLUS
CN D-Allitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 913534-40-4 CAPLUS
CN D-Allitol, 3,6-dideoxy-3,6-[(R)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB Four series of analogs of the naturally occurring glucosidase inhibitor salacinol were synthesized for structure-activity studies with different glycosidase enzymes. The target zwitterionic compds. were synthesized by means of nucleophilic attack at the least-hindered carbon atom of the 1,3-cyclic sulfates derived from D-glucose and D-mannose by the isopropylidene-protected 1,4-anhydro-4-thio- and seleno-D-allitols and the 4-thio- and seleno-L-allitols. Deprotection of the coupled products afforded the novel sulfonium and selenonium ions containing polyhydroxylated acyclic chains of four and six carbons, with different stereochem. at the stereogenic centers and with 1,4-anhydro-4-seleno or 4-thio-D- or L-alditol heterocyclic rings. The compds. showed no significant activity against recombinant against recombinant human maltase glucoamylase (MGA),

a critical intestinal glucosidase involved in the processing of oligosaccharides of glucose into glucose itself.
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

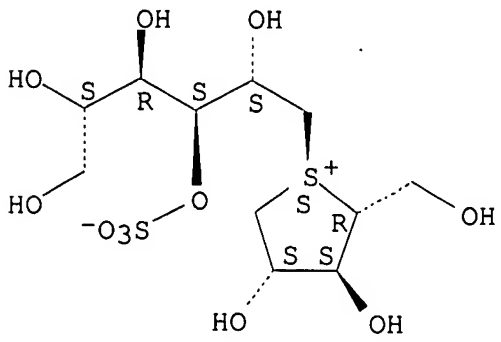
L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1150584 CAPLUS
DOCUMENT NUMBER: 145:471813
TITLE: Preparation of salacinol sulfate-containing alditols
as glycosidase inhibitors and antidiabetic agents
INVENTOR(S): Pinto, Brian Mario; Johnston, Blair D.; Ghavami, Ahmad; Szczepina, Monica Gabriela; Liu, Hui; Sadalapure, Kashinath; Jensen, Henrik H.; Kumar, Nag
Sharwan; Nasi, Ravindranath
PATENT ASSIGNEE(S): Simon Fraser University, Can.
SOURCE: U.S. Pat. Appl. Publ., 121pp., Cont.-in-part of U.S.
Ser. No. 877,490.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006247222	A1	20061102	US 2006-368014		20060302
US 6455573	B1	20020924	US 2000-627434		20000728
US 2003191104	A1	20031009	US 2002-226657		20020822
US 2005065139	A1	20050324	US 2004-877490		20040625
PRIORITY APPLN. INFO.:			US 2000-174837P	P	20000107
			US 2000-627434	A1	20000728
			US 2002-226657	B2	20020822
			US 2004-877490	A2	20040625
			US 2003-482006P	P	20030625

OTHER SOURCE(S): MARPAT 145:471813
IT 878288-73-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of sulfate-containing alditols as glycosidase inhibitors and
antidiabetic agents)

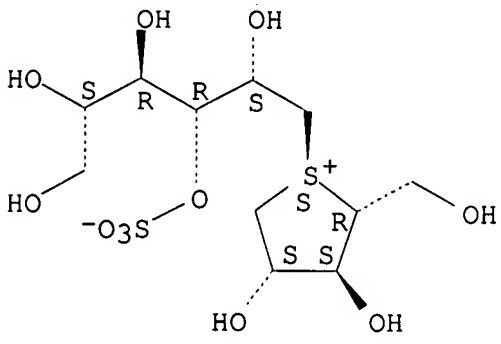
RN 878288-73-4 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-glucitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



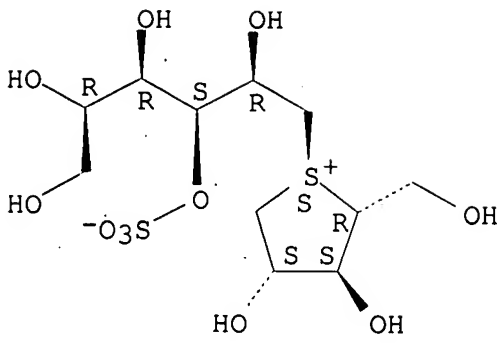
IT 816423-04-8P 887258-80-2P 887258-81-3P
913534-38-0P 913534-40-4P 913534-60-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfate-containing alditols as glycosidase inhibitors and
antidiabetic agents)
RN 816423-04-8 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



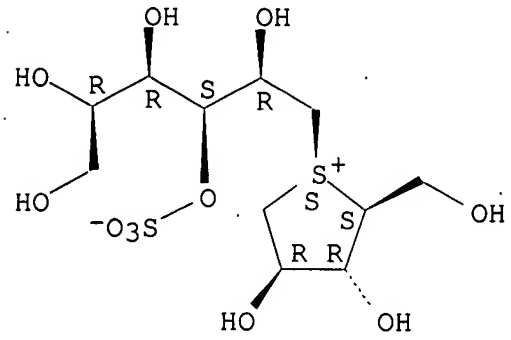
RN 887258-80-2 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



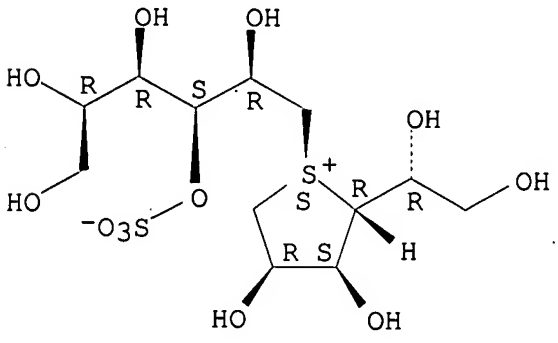
RN 887258-81-3 CAPLUS
CN L-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 913534-38-0 CAPLUS
CN D-Allitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

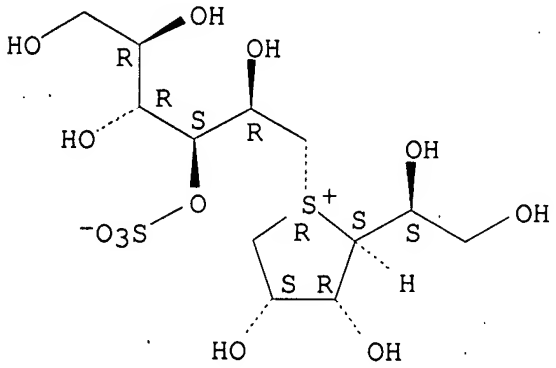
Absolute stereochemistry. Rotation (-).



RN 913534-40-4 CAPLUS

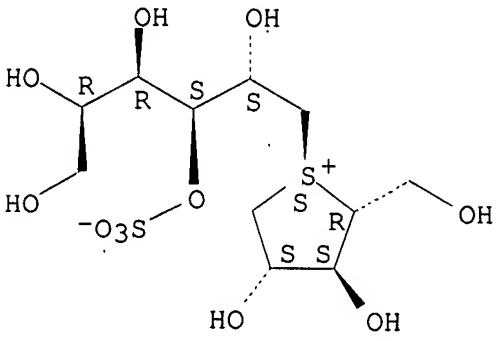
CN D-Allitol, 3,6-dideoxy-3,6-[(R)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



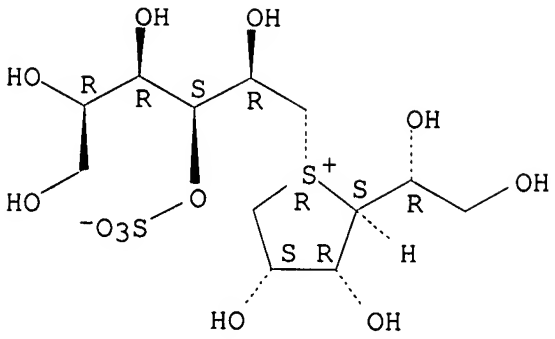
RN 913534-60-8 CAPLUS
CN L-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-mannitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

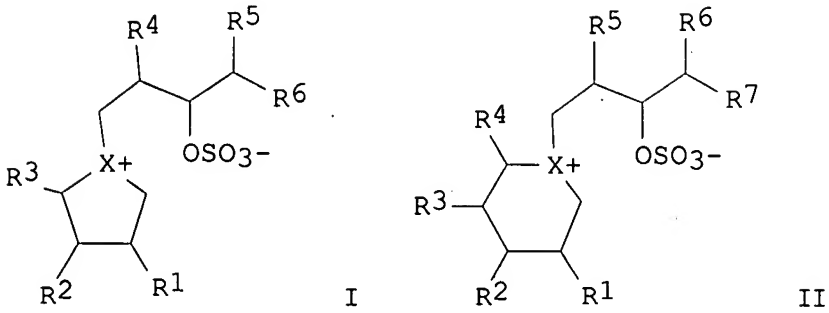


IT 913534-91-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of sulfate-containing alditols as glycosidase inhibitors and
antidiabetic agents)
RN 913534-91-5 CAPLUS
CN D-Altritol, 3,6-dideoxy-3,6-[(R)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



GI



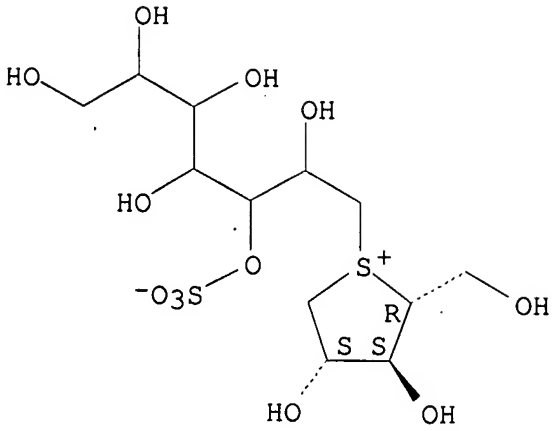
I II

AB A method for synthesizing salacinol, its stereoisomers, and analogs, homologs and other derivs. thereof potentially useful as glycosidase inhibitors. The compds. of the invention may have the general formula I and II, where X is selected from the group consisting of S, Se and NH; R1-R5 are the same or different and are selected from the group consisting of H, OH, SH, NH2, halogens and constituents of compds. selected from the group consisting of cyclopropanes, epoxides, aziridines and epi-sulfides; R6 and R7 are independently selected from the group consisting of H and optionally substituted straight chain, branched, or cyclic, saturated or unsatd. hydrocarbon radicals. The heteroatom preferably comprises sulfur, selenium, or nitrogen. The cyclic sulfate and ring sugar reagents may be readily prepared from carbohydrate precursors, such as D-glucose, L-glucose,

D-xylose and L-xylose. The target compds. are prepared by opening of the cyclic sulfates by nucleophilic attack of the heteroatoms on the 5-membered ring sugars. The resulting heterocyclic compds. have a stable, inner salt structure comprising a heteroatom cation and a sulfate anion. The synthetic schemes yield various stereoisomers of the target compds. in moderate to good yields with limited side-reactions. Glycosidase enzyme is selected from the group consisting of intestinal maltase-glucoamylase and pancreatic α-amylase. Thus, salacinol was prepared and tested in vitro as glycosidase inhibitor and antidiabetic agent.

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1150177 CAPLUS
DOCUMENT NUMBER: 146:499926
TITLE: Search for biofunctional molecules from medicinal foods
AUTHOR(S): Yoshikawa, Masayuki
CORPORATE SOURCE: Dep. of Pharmacy, Kyoto Pharmaceutical Univ., Japan
SOURCE: Kagaku Kogyo (2006), 57(10), 740-745
CODEN: KAKOAY; ISSN: 0451-2014
PUBLISHER: Kagaku Kogyosha
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
IT 214491-07-3, Kotalanol
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(search for biofunctional mols. from medicinal plants)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



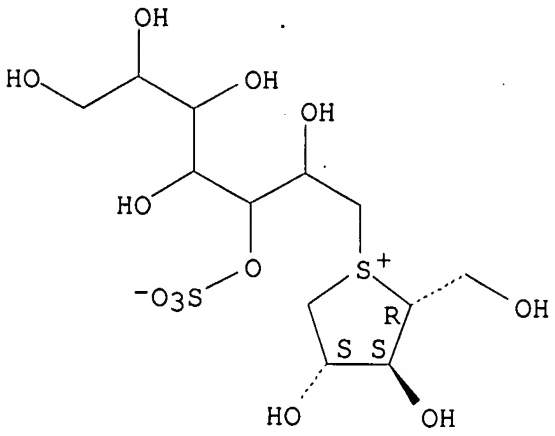
AB A review introducing anti-obesity and anti-allergy component derived fdrom medicinal plants is provided. Topics discussed in this article include Salacia-derived salacinol and kotalanol having α-glucosidase-inhibitory effects, Salvia officinalis-derived carnosic acid and carnosol having lipase-inhibitory effect, Rosa canina-derived tiliroside having internal fat accumulation-inhibitory effect, Cynara scolymus-derived cynaropicrin having serum triglyceride increase-inhibitory effect, Laurus nobilis-derived costunolid and dehydrocostus lactone having blood alc. increase-inhibitory effect, and Alpinia galanga-derived phenylpropanoid having antiallergic effect.

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:661962 CAPLUS
DOCUMENT NUMBER: 145:262443
TITLE: Inhibition of recombinant human maltase glucoamylase by salacinol and derivatives
AUTHOR(S): Rossi, Elena J.; Sim, Lyann; Kuntz, Douglas A.; Hahn, Dagmar; Johnston, Blair D.; Ghavami, Ahmad; Szczepina, Monica G.; Kumar, Nag S.; Sterchi, Erwin E.; Nichols, Buford L.; Pinto, B. M.; Rose, David R.
CORPORATE SOURCE: Department of Medical Biophysics, University of Toronto, Can.
SOURCE: FEBS Journal (2006), 273(12), 2673-2683
CODEN: FJEOAC; ISSN: 1742-464X
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 214491-07-3, Kotalanol 816423-04-8 878288-73-4

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of recombinant human maltase glucoamylase by salacinol and derivs.)

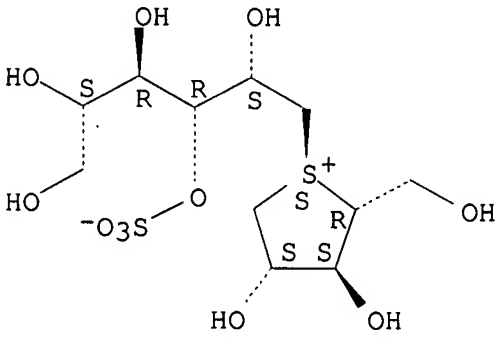
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



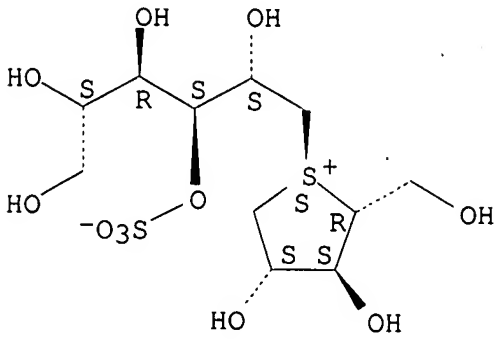
RN 816423-04-8 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 878288-73-4 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-glucitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

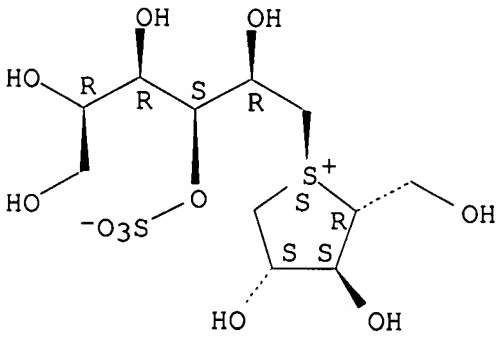


AB Inhibitors targeting pancreatic α-amylase and intestinal α-glucosidases delay glucose production following digestion and are currently used in the treatment of Type II diabetes. Maltase-glucoamylase (MGA), a family 31 glycoside hydrolase, is an α-glucosidase anchored in the membrane of small intestinal epithelial cells responsible for the final step of mammalian starch digestion leading to the release of glucose. This paper reports the production and purification of active human recombinant MGA amino terminal catalytic domain (MGAnt) from two different eukaryotic cell culture systems. MGAnt overexpressed in Drosophila cells was of quality and quantity suitable for kinetic and inhibition studies as well as future structural studies. Inhibition of MGAnt was tested with a group of prospective α-glucosidase inhibitors modeled after salacinol, a naturally occurring α-glucosidase inhibitor, and acarbose, a currently prescribed antidiabetic agent. Four synthetic inhibitors that bind and inhibit MGAnt activity better than acarbose, and at comparable levels to salacinol, were found. The inhibitors are derivs. of salacinol that contain either a selenium atom in place of sulfur in the five-membered ring, or a longer polyhydroxylated, sulfated chain than salacinol. Six-membered ring derivs. of salacinol and compds. modeled after miglitol were much less effective as MGAnt inhibitors. These results provide information on the inhibitory profile of MGAnt that will guide the development of new compds. having antidiabetic activity.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

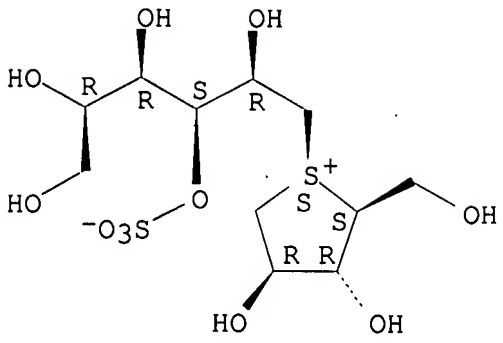
L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:266160 CAPLUS
DOCUMENT NUMBER: 144:483387
TITLE: A New Class of Glucosidase Inhibitor: Analogues of the
Naturally Occurring Glucosidase Inhibitor Salacinol with Different Ring Heteroatom Substituents and Acyclic Chain Extension
AUTHOR(S): Liu, Hui; Sim, Lyann; Rose, David R.; Pinto, B. Mario
CORPORATE SOURCE: Department of Chemistry, Simon Fraser University, Burnaby, V5A 1S6, Can.
SOURCE: Journal of Organic Chemistry (2006), 71(8), 3007-3013
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:483387
IT 887258-80-2P 887258-81-3P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(inhibitor analogs of naturally occurring glucosidase inhibitor salacinol with different ring heteroatom substituents and acyclic chain extension)
RN 887258-80-2 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 887258-81-3 CAPLUS
CN L-Arabinitol, 1,4-dideoxy-1,4-[(S)-(1-deoxy-3-O-sulfo-D-glucitol-1-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB Six chain-extended analogs of the naturally occurring glycosidase inhibitor salacinol, with ring-heteroatom variation, were synthesized for structure-activity studies with different glycosidase enzymes. The syntheses involved the reaction of PMB-protected D- and L- seleno-, thio-, and iminoarabinitol with a benzylidene- and isopropylidene-protected 1,3-cyclic sulfate, derived from com. available D-sorbitol, in 1,1,1,3,3,3-hexafluoro-2-propanol containing potassium carbonate. Deprotection of the products afforded the novel selenonium, sulfonium, and iminium analogs of salacinol containing polyhydroxylated, monosulfated, extended acyclic chains of 6-carbons, differing in stereochem. at the stereogenic centers and ring-heteroatom constitution. Four of these compds. inhibit recombinant human maltase glucoamylase, one of the key intestinal enzymes involved in the breakdown of glucose oligosaccharides in the small intestine, with Ki values in the micromolar range, thus providing lead candidates for the treatment of Type 2 diabetes.

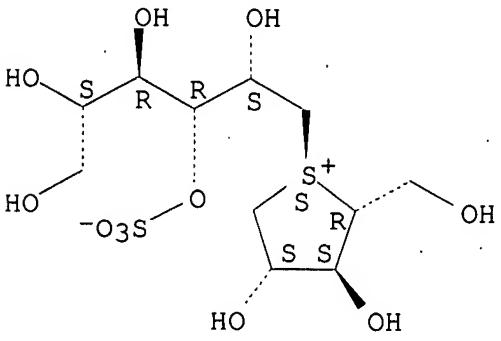
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:20550 CAPLUS
DOCUMENT NUMBER: 144:274476
TITLE: Synthesis of Sulfonium Sulfate Analogs of Disaccharides and Their Conversion to Chain-Extended Homologs of Salacinol: New Glycosidase Inhibitors
AUTHOR(S): Johnston, Blair D.; Jensen, Henrik H.; Pinto, B. Mario
CORPORATE SOURCE: Department of Chemistry, Simon Fraser University, Burnaby, BC, V5A 1S6, Can.
SOURCE: Journal of Organic Chemistry (2006), 71(3), 1111-1118
CODEN: JOCEAH; ISSN: 0022-3263

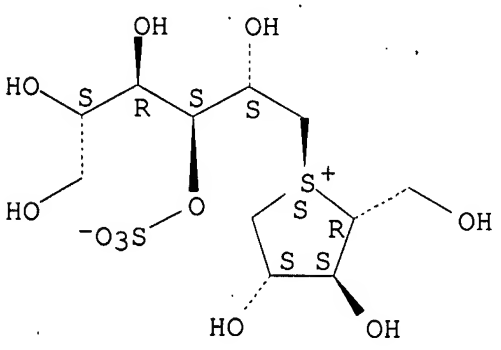
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:274476
IT 816423-04-8P 878288-73-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of sulfonium sulfate analogs of disaccharides and their conversion to chain-extended homologs of salacinol as glycosidase inhibitors)
RN 816423-04-8 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 878288-73-4 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-glucitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



AB Four chain extended homologs of salacinol, a naturally occurring glycosidase inhibitor, were prepared for evaluation as inhibitors of glucosidase enzymes involved in the breakdown of carbohydrates. The syntheses involved the reactions of
1,4-anhydro-2,3,5-tri-O-benzyl-4-thio-

D-arabinitol with cyclic sulfate derivs. of different monosaccharides. Debenzylation of the products afforded the novel sulfonium sulfate derivs. of D-glucose, D-galactose, D-arabinose, and D-xylose that are of interest in their own right as glycosidase inhibitors. Reduction to the corresponding alditols then afforded the homologs of salacinol containing poly-hydroxylated, acyclic chains of 5- and 6-carbons, differing in stereochem. at the stereogenic centers. Three of the chain-extended homologs inhibited recombinant human maltase glucoamylase, one of the key intestinal enzymes involved in the breakdown of glucose oligosaccharides in the small intestine, with Ki values in the low micromolar range, of approx. the same magnitude as salacinol, thus providing lead candidates for the treatment of Type 2 diabetes.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259669 CAPLUS
DOCUMENT NUMBER: 142:317031
TITLE: Preparation of salacinol sulfate-containing alditols as glycosidase inhibitors and antidiabetic agents
INVENTOR(S): Pinto, Brian Mario; Johnston, Blair D.; Szczepina, Monica Gabriela; Liu, Hui; Sadalapure, Kashinath; Ghavami, Ahmad
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 226,657.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065139	A1	20050324	US 2004-877490	20040625
US 6455573	B1	20020924	US 2000-627434	20000728
US 2003191104	A1	20031009	US 2002-226657	20020822
US 2006247222	A1	20061102	US 2006-368014	20060302

PRIORITY APPLN. INFO.: US 2000-627434 A1 20000728
US 2002-226657 A2 20020822

US 2003-482006P P 20030625

US 2000-174837P P 20000107

US 2004-877490 A2 20040625

OTHER SOURCE(S): MARPAT 142:317031

IT 816423-04-8P

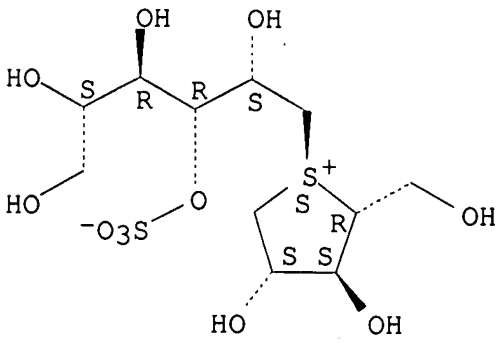
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfate-containing alditols as glycosidase inhibitors and antidiabetic agents)

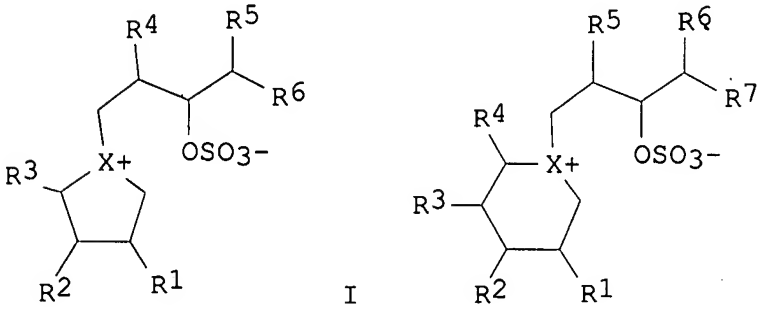
RN 816423-04-8 CAPLUS

CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



GI



I II

AB A method for synthesizing salacinol, its stereoisomers, and analogs,

homologs and other derivs. thereof potentially useful as glycosidase inhibitors. The compds. of the invention may have the general formula I and II, where X is selected from the group consisting of S, Se and NH; R1-R5 are the same or different and are selected from the group consisting of H, OH, SH, NH2, halogens and constituents of compds. selected from the group consisting of cyclopropanes, epoxides, aziridines and epi-sulfides; R6 and R7 are independently selected from the group consisting of H and optionally substituted straight chain, branched, or cyclic, saturated or unsatd. hydrocarbon radicals. The heteroatom preferably comprises sulfur, selenium, or nitrogen. The cyclic sulfate and ring sugar reagents may be readily prepared from carbohydrate precursors, such as D-glucose, L-glucose, D-xylose and L-xylose. The target compds. are prepared by opening of the cyclic sulfates by nucleophilic attack of the heteroatoms on the 5-membered ring sugars. The resulting heterocyclic compds. have a stable, inner salt structure comprising a heteroatom cation and a sulfate anion. The synthetic schemes yield various stereoisomers of the target compds. in moderate to good yields with limited side-reactions. Glycosidase enzyme is selected from the group consisting of intestinal maltase-glucoamylase and pancreatic alpha amylase. Thus, salacinol was prepared and tested in vitro as glycosidase inhibitor and antidiabetic agent.

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1154666 CAPLUS
DOCUMENT NUMBER: 142:94067
TITLE: Preparation of salacinol sulfate-containing alditols as glycosidase inhibitors and antidiabetic agents
INVENTOR(S): Pinto, Brian Mario; Johnston, Blair D.; Ghavami, Ahmad; Szczepina, Monica Gabriela; Liu, Hui; Sadalapure, Kashinath
PATENT ASSIGNEE(S): Simon Fraser University, Can.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004113289	A2	20041229	WO 2004-CA958		20040625
WO 2004113289	A3	20050407			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2003191104	A1	20031009	US 2002-226657		20020822
AU 2004249343	A1	20041229	AU 2004-249343		20040625
CA 2534094	A1	20041229	CA 2004-2534094		20040625
EP 1653945	A2	20060510	EP 2004-737897		20040625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1842330	A	20061004	CN 2004-80024590		20040625
JP 2007505030	T	20070308	JP 2006-515614		20040625
IN 2006KN00199	A	20070525	IN 2006-KN199		20060125
PRIORITY APPLN. INFO.:			US 2002-226657	A	20020822
			US 2003-482006P	P	20030625
			US 2000-174837P	P	20000107
			US 2000-627434	A1	20000728
			WO 2004-CA958	W	20040625

OTHER SOURCE(S): CASREACT 142:94067; MARPAT 142:94067

IT 816423-04-8P

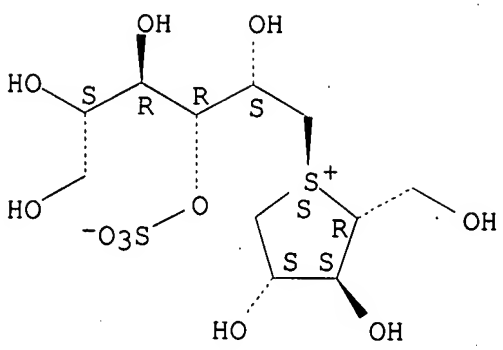
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfate-containing alditols as glycosidase inhibitors and antidiabetic agents)

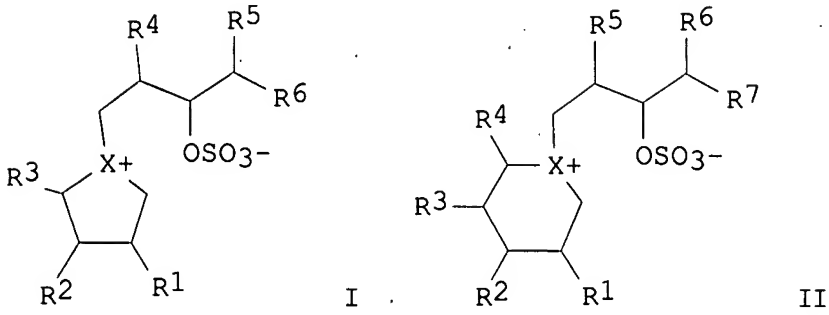
RN 816423-04-8 CAPLUS

CN D-Arabinitol, 1,4-dideoxy-1,4-[(S)-(6-deoxy-4-O-sulfo-D-galactitol-6-yl)episulfoniumylidene]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



GI



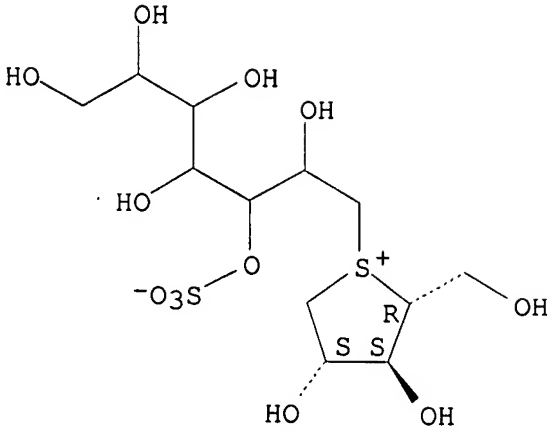
I II

AB A method for synthesizing salacinol, its stereoisomers, and analogs, homologs and other derivs. thereof potentially useful as glycosidase inhibitors. The compds. of the invention may have the general formula I and II, where X is selected from the group consisting of S, Se and NH; R1-R5 are the same or different and are selected from the group consisting of H, OH, SH, NH2, halogens and constituents of compds. selected from the group consisting of cyclopropanes, epoxides, aziridines and epi-sulfides; R6 and R7 are independently selected from the group consisting of H and optionally substituted straight chain, branched, or cyclic, saturated or unsatd. hydrocarbon radicals. The heteroatom preferably comprises sulfur, selenium, or nitrogen. The cyclic sulfate and ring sugar reagents may be readily prepared from carbohydrate precursors, such as D-glucose, L-glucose,

D-xylose and L-xylose. The target compds. are prepared by opening of the cyclic sulfates by nucleophilic attack of the heteroatoms on the 5-membered ring sugars. The resulting heterocyclic compds. have a stable, inner salt structure comprising a heteroatom cation and a sulfate anion. The synthetic schemes yield various stereoisomers of the target compds. in moderate to good yields with limited side-reactions. Glycosidase enzyme is selected from the group consisting of intestinal maltase-glucoamylase and pancreatic alpha amylase. Thus, salacinol was prepared and tested in vitro as glycosidase inhibitor and antidiabetic agent.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:48406 CAPLUS
DOCUMENT NUMBER: 141:206163
TITLE: Anti-diabetic principles of Kothalahimbutu
AUTHOR(S): Hara, Kozo
CORPORATE SOURCE: Yokohama International Bio Laboratory Co., Ltd., Japan
SOURCE: Food Style 21 (2004), 8(1), 68-71
CODEN: FSTYFF; ISSN: 1343-9502
PUBLISHER: Shokuhin Kagaku Shinbunsha
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
IT 214491-07-3, Kotalanol
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-diabetic effect of Kothalahimbutu (Salacia reticulata))
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

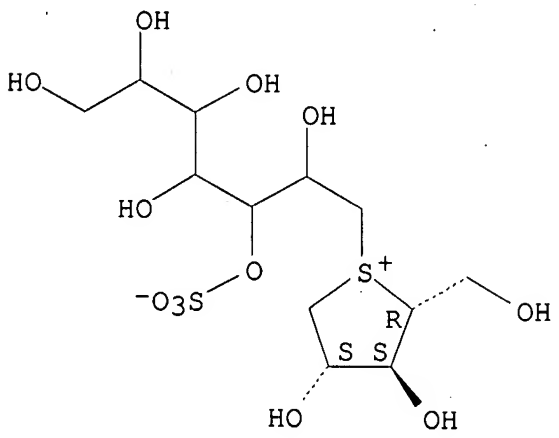
Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



AB A review. The anti-hyperglycemic, antiobesity, and antioxidative effects of Kothalahimbuts (Salacia reticulata), a plant in Sri Lanka area, and the active components (salacinol and kotalanol) are discussed. The development and effect of the Kothalahimbuts extract powder product (Kothalahim) are also introduced.

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:843074 CAPLUS
DOCUMENT NUMBER: 140:157197
TITLE: Biological activities of Salacia chinensis originating in Thailand: the quality evaluation guided by α-glucosidase inhibitory activity
AUTHOR(S): Yoshikawa, Masayuki; Pongpiriyadacha, Yutana; Kishi, Akinobu; Kageura, Tadashi; Wang, Tao; Morikawa, Toshio; Matsuda, Hisashi
CORPORATE SOURCE: Kyoto Pharmaceutical University, Misasagi, Yamashina-ku, Kyoto, 607-8412, Japan
SOURCE: Yakugaku Zasshi (2003), 123(10), 871-880
CODEN: YKKZAJ; ISSN: 0031-6903
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
IT 214491-07-3, Kotalanol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biol. activities of Salacia chinensis originating in Thailand: the quality evaluation guided by α-glucosidase inhibitory activity)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.

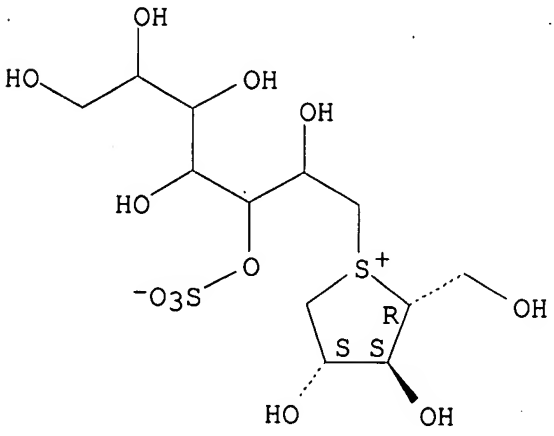


AB In the course of our characterization studies on anti-obese and antidiabetogenic principles in medicinal foodstuffs, we found that the methanolic extract from the stems of Salacia chinensis (Hippocerateaceae) showed potent anti-hyperglycemic effects in oral sucrose or maltose-loaded rats, inhibitory effects on intestinal α-glucosidase, rat lens aldose reductase, formation of Amadori compds. and advanced glycation end-products, nitric oxide production from lipopolysaccharide-activated mouse peritoneal macrophage, and radical scavenging activities. Those in vivo and in vitro biol. activities were compared with those of S. oblonga and S. reticulata. In addition, we isolated the principal α-glucosidase inhibitor, salacinol, from the stems of S. chinensis and examined α-glucosidase inhibitory activities of eleven samples of S. chinensis collected in Thailand.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:640084 CAPLUS
DOCUMENT NUMBER: 138:343579
TITLE: Antidiabetogenic constituents from several natural medicines
AUTHOR(S): Matsuda, Hisashi; Morikawa, Toshio; Yoshikawa, Masayuki
CORPORATE SOURCE: Kyoto Pharmaceutical University, Kyoto, 607-8412, Japan
SOURCE: Pure and Applied Chemistry (2002), 74(7), 1301-1308
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: International Union of Pure and Applied Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 214491-07-3, Kotalanol
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(antidiabetogenic constituents from several natural medicines)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

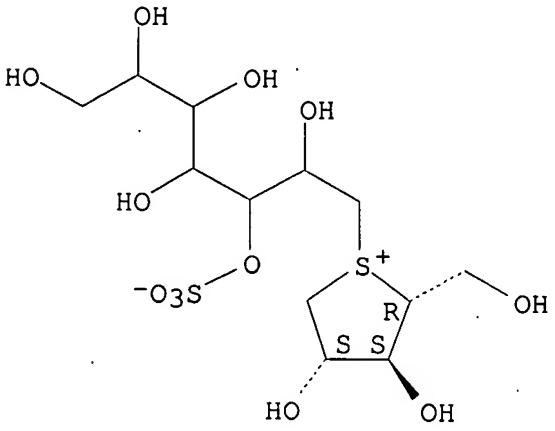
Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



AB In the course of our studies on antidiabetogenic and antidiabetic principles of natural medicines and medicinal foodstuffs, we have isolated salacinol and kotalanol with unique thiosugar sulfonium sulfate inner salt structures from the antidiabetic Ayurvedic traditional medicines, Salacia reticulata and S. oblonga. Salacinol and kotalanol showed potent inhibitory activities against intestinal α-glucosidase, and also inhibitory effects of salacinol on the increase in serum glucose levels in maltose- and sucrose-loaded rats were found to be more potent than those of acarbose. In addition, various flavonoids with potent inhibitory activities against rat lens aldose reductase such as quercitrin, desmanthin-1 and guaijaverin were isolated from Myrcia multiflora and several natural medicines, and some structural requirements of flavonoids for aldose reductase inhibitory activity were clarified.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:326864 CAPLUS
DOCUMENT NUMBER: 135:162095
TITLE: Polyphenol constituents from Salacia species: Quantitative analysis of mangiferin with α-glucosidase and aldose reductase inhibitory activities
AUTHOR(S): Yoshikawa, Masayuki; Nishida, Norihisa; Shimoda, Hiroshi; Takada, Miki; Kawahara, Yuzo; Matsuda, Hisashi
CORPORATE SOURCE: Kyoto Pharmaceutical Univ., Yamashina-ku, Kyoto, 607-8412, Japan
SOURCE: Yakugaku Zasshi (2001), 121(5), 371-378
CODEN: YKKZAJ; ISSN: 0031-6903
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
IT 214491-07-3, Kotalanol
RL: ANT (Analyte); BAC (Biological activity or effector, except adverse);
BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)
(quant. anal. of mangiferin and other catechin derivs. from Salacia reticulata by HPLC and determination of inhibitory activity of polyphenol
constituents against carbohydrate metabolizing enzymes)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



AB Mangiferin, three catechins, and two catechin dimers were isolated from the roots of Salacia reticulata (SRE), and examined their inhibitory activities against several carbohydrate metabolizing enzymes (sucrase,

maltase, isomaltase, α-amylase, and aldose reductase). Among them, mangiferin was found to inhibit sucrase, isomaltase, and aldose reductase from rat with IC50 values of 87, 216 and 1.4 μg/mL, resp. The inhibitory activities of mangiferin are competitive for sucrase and isomaltase with inhibitor constant (Ki) 55 μg/mL and 70 μg/mL, resp. In order to determine the mangiferin contents in the water exts. from the roots of S. reticulata , a quant. anal. method by means of HPLC was developed and the mangiferin contents in SRE were determined to be in the range of 0.9-2.3% by the application of this method. A high linear correlation (r = 0.934) was observed between the mangiferin contents and the sucrase inhibitory activity. In addition, this anal. procedure of mangiferin was found to be applicable for other Salacia species (S. oblonga, S. chinensis, and S. prinoides). Thus, the quant. HPLC anal. of mangiferin was supposed to be suitable for the quality control of Salacia species and its products.

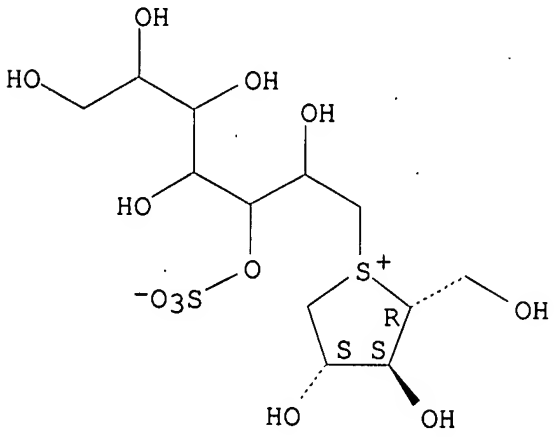
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:198034 CAPLUS
DOCUMENT NUMBER: 132:227428
TITLE: Kotalanol and its use as disaccharidase inhibitor for treatment of diabetes
INVENTOR(S): Yoshikawa, Masayuki; Murakami, Toshiyuki; Yashiro, Kenichi; Matsuda, Hisashi
PATENT ASSIGNEE(S): Rankar Yurubedikk Harb Yakuhin K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000086653	A	20000328	JP 1998-260539	19980914
PRIORITY APPLN. INFO.:			JP 1998-260539	19980914

IT 214491-07-3P, Kotalanol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(kotalanol as disaccharidase inhibitor for treatment of diabetes)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-

yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.

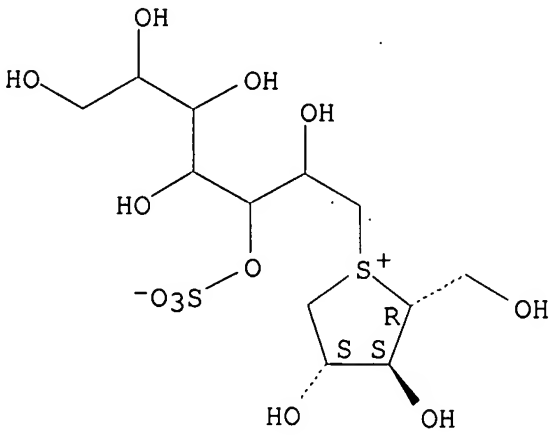


AB Disaccharidase inhibitors contain kotalanol (I) as an active ingredient.
I, extracted from Salacia reticulata, inhibited disaccharidase with IC50 of
2.8 μg/mL, when maltose was use as a substrate.

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:2269 CAPLUS
DOCUMENT NUMBER: 132:163473
TITLE: Antidiabetic principles of natural medicines. IV. Aldose reductase and α-glucosidase inhibitors from the roots of Salacia oblonga WALL. (Celastraceae): structure of a new friedelane-type triterpene, kotalagenin 16-acetate
AUTHOR(S): Matsuda, Hisashi; Murakami, Toshiyuki; Yashiro, Kenichi; Yamahara, Johji; Yoshikawa, Masayuki
CORPORATE SOURCE: Kyoto Pharmaceutical University, Kyoto, 607-8414, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(12), 1725-1729
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 214491-07-3P, Kotalanol
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(diterpene and triterpene inhibitors of aldose reductase and

α-glucosidase from the roots of Salacia oblonga)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+)..
Currently available stereo shown.



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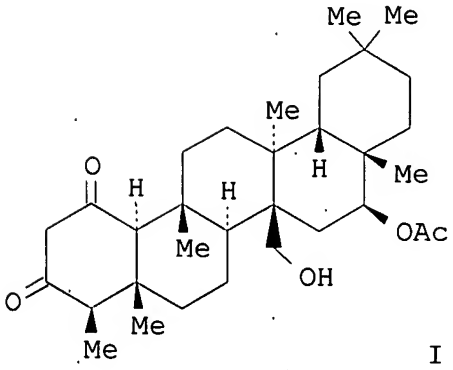


I

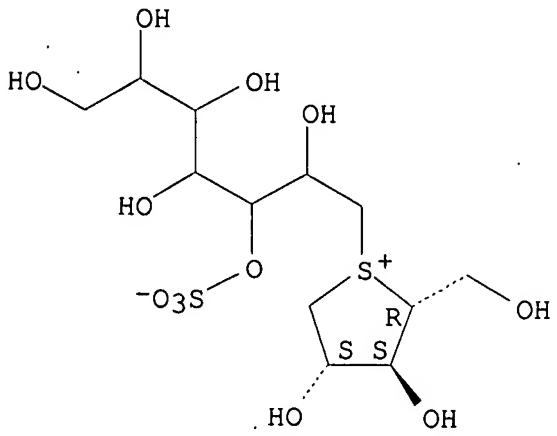
AB The aqueous methanolic extract of an Indian natural medicine, the roots of
Salacia oblonga WALL. (Celastraceae), was found to show inhibitory activity on the increase in serum glucose level in sucrose- and maltose-loaded rats. The water-soluble and Et acetate-soluble portions from the
aqueous methanolic extract showed inhibitory activities on α-glucosidase

and aldose reductase, resp. From the water-soluble portion, potent α-glucosidase inhibitors, salacinol and kotalanol, were isolated, together with nine sugar related components, while a new friedelane-type triterpene, kotalagenin 16-acetate (I), was isolated from the Et acetate-soluble portion along with known diterpenes and triterpenes. The structure of I was elucidated on the basis of physicochem. evidence. Principal components from this natural medicine were examined in terms of inhibitory activity on aldose reductase, and the diterpene and triterpene constituents, including the new kotalagenin 16-acetate (I), were found to be responsible components for the inhibitory activity on aldose reductase.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:285359 CAPLUS
DOCUMENT NUMBER: 131:106694
TITLE: Antidiabetic constituents of Sri Lankan natural medicine Kotala himbutu (Salacia reticulata): absolute stereostructures of α-glucosidase inhibitors, salacinol and kotalanol, with unique thiosugar sulfonium sulfate inner salt structure
AUTHOR(S): Yoshikawa, Masayuki; Murakami, Toshiyuki; Morikawa, Toshio; Yashiro, Kenichi; Matsuda, Hisashi; Muraoka, Osamu; Tanabe, Genzou; Yamahara, Johji
CORPORATE SOURCE: Kyoto Pharmaceutical University, Japan
SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1998), 40th, 67-72
CODEN: TYKYDS
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
IT 214491-07-3, Kotalanol
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(antidiabetic constituents of Kotala himbutu with unique thiosugar sulfonium sulfate inner salt structure)
RN 214491-07-3 CAPLUS
CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-

yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



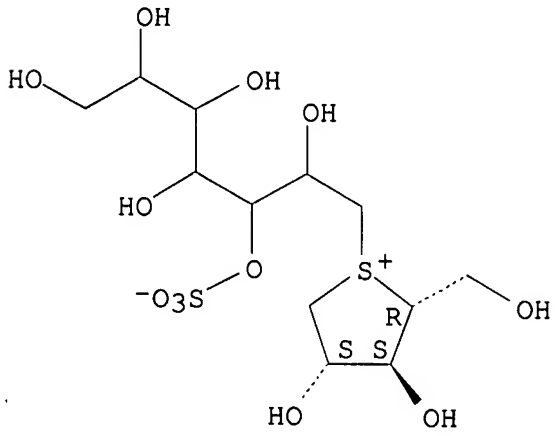
AB The roots and stems of Salacia reticulata WIGHT (Kotala himbutu in Singhalase, Celastraceae) have been extensively used as a specific remedy for diabetes in Ayurvedic system in Indian traditional medicine. As a continuing part of the authors' screening for antidiabetogenic principles of natural medicine and medicinal foods, the authors have found that the water-soluble fractions from the roots and stems of S. reticulata strongly inhibited the increase of serum glucose levels after the administration of sucrose or maltose, but not glucose, in rats. Furthermore, the fractions inhibited rat intestinal maltase and sucrase in vitro, although the extract even at high dose did not have any effect on exptl. hyperglycemia induced by injection of alloxan in mice. On the other hand, the lipophilic fraction showed inhibitory activity for rat lens aldose reductase and, as the active components, new triterpene kotalagenin 16-acetate was isolated together with several diterpenes and triterpenes. Through bioassay-guided separation, two potent α-glucosidase inhibitors called salacinol (0.0079%) and kotalanol (0.0002%) have been isolated from the water-soluble fraction together with many sugars and glycosides. The absolute stereostructure of salacinol was determined on the basis of chemical and

physicochem. evidence, which included the alkaline degradation to 1-deoxy-4-thio-D-arabinofuranose and the X-ray crystallog. anal. The mol. conformation showed the unique spiro-like configuration of the inner salt comprised of 1-deoxy-4-thio-D-arabinofuranosyl sulfonium cation and 1-deoxy-D-erythrosyl-3-sulfate anion. The structure of kotalanol was also elucidated in a similar manner as that of salacinol to be the inner salt comprised of 1-deoxy-4-thio-D-arabinofuranosyl sulfonium cation and 1-deoxyheptosyl-3-sulfate anion. Salacinol and kotalanol were found to exhibit the competitive inhibition for the intestinal α-glucosidase of rat. Their inhibitory activities against sucrase and maltase were nearly equal to those of a com. α-glucosidase inhibitor acarbose, whereas their activities against isomaltase were much more potent than that of acarbose. 1-Deoxy-4-thio-D-arabinofuranose lacked the activity (IC50 >400 μg/mL) and its Me sulfonium iodide showed weak activity (sucrase: IC50 129 μg/mL; maltase: IC50 >400 μg/mL). This evidence revealed that the spiro-like inner salt structure of salacinol and kotalanol was essential for the potent α-glucosidase inhibitory activity. Furthermore, salacinol more strongly inhibited the increase of serum glucose levels in sucrose-loaded rats than acarbose.

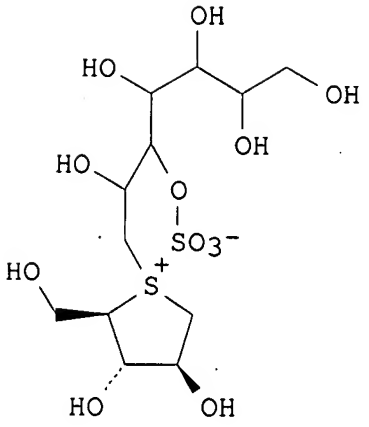
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:558098 CAPLUS
DOCUMENT NUMBER: 129:300080
TITLE: Kotalanol, a potent α-glucosidase inhibitor with thiosugar sulfonium sulfate structure, from antidiabetic Ayurvedic medicine salacia reticulata
AUTHOR(S): Yoshikawa, Masayuki; Murakami, Toshiyuki; Yashiro, Kenichi; Matsuda, Hisashi
CORPORATE SOURCE: Kyoto Pharmaceutical University, Kyoto, 607-8414, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(8), 1339-1340
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 214491-07-3P, Kotalanol
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(kotalanol, a potent α-glucosidase inhibitor with thiosugar sulfonium sulfate structure, from antidiabetic Ayurvedic medicine salacia reticulata)
RN 214491-07-3 CAPLUS

CN D-Arabinitol, 1,4-dideoxy-1,4-[(1-deoxy-3-O-sulfohexitol-1-yl)episulfoniumylidene]-, inner salt (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Currently available stereo shown.



GI



I

AB A potent natural α-glucosidase inhibitor called kotalanol (I) has been isolated from an antidiabetic traditional Ayurvedic medicine, the roots and stems of Salacia reticulata Wight, through bioassay-guided separation
The structure of kotalanol was elucidated on the basis of chemical and physicochem. evidence to be the inner salt comprised of 1-deoxyheptosyl-3-sulfate anion and 1-deoxy-4-thio-D-arabinofuranosyl sulfonium cation. Kotalanol was found to show more potent inhibitory activity against sucrase than salacinol and acarbose.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	100.60	272.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.82	-14.82

STN INTERNATIONAL LOGOFF AT 12:11:45 ON 03 AUG 2007